

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
10 November 2005 (10.11.2005)

PCT

(10) International Publication Number
WO 2005/105779 A1

(51) International Patent Classification⁷: **C07D 401/04**,
401/14, 403/04, A61K 31/454, 31/506, 31/4196, A61P
5/12, 9/00, 15/00, 29/00

Road, Sandwich, Kent CT13 9NJ (GB). **STOBIE, Alan**
[GB/GB]; Pfizer Global Research and Development,
Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(21) International Application Number:
PCT/IB2005/001062

(74) Agents: **WOOD, David, J.** et al.; Pfizer Research and
Development, Ramsgate Road, Sandwich, Kent CT13 9NJ
(GB).

(22) International Filing Date: 18 April 2005 (18.04.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0409502.2 28 April 2004 (28.04.2004) GB
60/576,252 2 June 2004 (02.06.2004) US
0415888.7 15 July 2004 (15.07.2004) GB
60/601,082 12 August 2004 (12.08.2004) US

(81) Designated States (*unless otherwise indicated, for every
kind of national protection available*): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US (patent), UZ, VC,
VN, YU, ZA, ZM, ZW.

(71) Applicant (*for GB only*): **PFIZER LIMITED** [GB/GB];
Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(84) Designated States (*unless otherwise indicated, for every
kind of regional protection available*): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except GB, US*):
PFIZER INC. [US/US]; 235 East 42nd Street, New York,
NY 10017 (US).

(72) Inventors; and

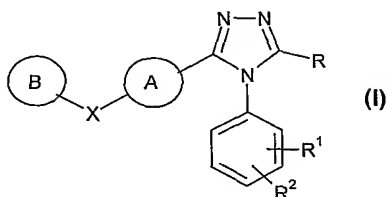
(75) Inventors/Applicants (*for US only*): **BRYANS, Justin**,
Stephen [GB/GB]; Pfizer Global Research and Develop-
ment, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).
JOHNSON, Patrick, Stephen [GB/GB]; Pfizer Global
Research and Development, Ramsgate Road, Sandwich,
Kent CT13 9NJ (GB). **RYCKMANS, Thomas** [BE/GB];
Pfizer Global Research and Development, Ramsgate

Published:

— with international search report

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: 3-HETEROCYCLYL-4-PHENYL-TRIAZOLE DERIVATIVES AS INHIBITORS OF THE VASOPRESSIN V1A RE-
CEPTOR



(57) Abstract: Compounds of formula (I): or a pharmaceutically acceptable derivative thereof, wherein R represents C₁₋₆alkyl (optionally substituted by C₁₋₆alkyloxy or Het) or C₁₋₆alkyloxy; R¹ and R² independently represent hydrogen, halo or C₁₋₆alkyl, ring A represents Het¹; X represents O or NR³; R³ represents hydrogen or C₁₋₆alkyl; ring B represents a phenyl group or Het², either of which may be optionally substituted with one or more groups selected from halo, CN, C₁₋₆alkyloxy, CF₃, C₁₋₆alkyl, NH₂ and NO₂; Het and Het¹ independently represent a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic group comprising either (a) 1 to 4 nitrogen atoms, (b) one oxygen or

one sulphur atom or (c) 1 oxygen atom or 1 sulphur atoms and 1 or 2 nitrogen atoms are useful for treating anxiety, cardiovascular disease (including angina, atherosclerosis, hypertension, heart failure, edema, hypernatremia), dysmenorrhoea (primary and secondary), endometriosis, emesis (including motion sickness), intrauterine growth retardation, inflammation (including rheumatoid arthritis) mittlemerchz, preclampsia, premature ejaculation, premature (preterm) labour and Raynaud's disease.

WO 2005/105779 A1